

*Inventor Search*

<c> KAM 09/760,949

=> d his

(FILE 'HOME' ENTERED AT 11:23:37 ON 16 AUG 2002)

FILE 'HCAPLUS' ENTERED AT 11:23:57 ON 16 AUG 2002

L1 72 S MASUBUCHI K?/AU  
L2 2801 S MURATA T?/AU  
L3 44 S SHIMMA N?/AU  
L4 2903 S L1-3  
L5 5 S AEROTHRICIN?  
L6 3 S L4 AND L5  
SELECT RN L6 1-3

FILE 'REGISTRY' ENTERED AT 11:25:34 ON 16 AUG 2002

L7 200 S E1-200  
L8 98 S E201-298  
E AEROTHRICIN/CN  
L9 1 S E4  
L10 266 S 20293.2.1/RID AND 46.150.18/RID  
L11 91 S L7-8 AND L10

FILE 'HCAPLUS' ENTERED AT 11:32:34 ON 16 AUG 2002

L12 3 S L11 AND L6

*3 cites w/ 91 cpds having the claimed  
ring struct*

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=> d ibib abs hitstr 1

L12 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:545715 HCAPLUS  
DOCUMENT NUMBER: 135:137714  
TITLE: Preparation of **aerothricins**, novel cyclic  
compounds having antifungal activity  
INVENTOR(S): Kohchi, Masami; **Masubuchi, Kazunao**;  
**Murata, Takeshi**; Okada, Takehiro; Shimma,  
Nobuo  
PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.  
SOURCE: PCT Int. Appl., 44 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053322	A2	20010726	WO 2001-EP251	20010111
WO 2001053322	A3	20020131		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001025148	A5	20010731	AU 2001-25148	20010111
US 2001031728	A1	20011018	US 2001-760949	20010116
PRIORITY APPLN. INFO.:			EP 2000-100807 A	20000117
			WO 2001-EP251 W	20010111
OTHER SOURCE(S):	MARPAT 135:137714			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB **Aerothricin** derivs. I [R1 = N-(3-aminopropyl)-N-[(2S)-2,5-diaminovaleryl]amino, N-(3-aminopropyl)-N-[5-amino-2-[N,N-bis(2-aminoethyl)amino]valeryl]amino, N-(3-aminopropyl)-N-[5-amino-2-[N-(3-aminopropyl)amino]valeryl]amino, N-(2-aminoethyl)-N-[5-amino-2-[N,N-bis(2-aminoethyl)amino]valeryl]amino or ornithylornithylamino; R2 = H, Me; R3 = H, OH] or pharmaceutically acceptable salts were prepd. for use as fungicides. Thus, **aerothricin** 3 (I; R1 = NH2, R2 = R3 = H), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions, was treated with acrylonitrile in MeOH in the presence of Et3N to give **aerothricin** 120 (I; R1 = NHCH2CH2CN, R2 = R3 = H). Coupling of **aerothricin** 120 with Boc-L-Orn(Boc)-OH (Boc = tert-butoxycarbonyl, Fmoc = 9-fluorenylmethoxycarbonyl) in DMF using BOP reagent, HOBT hydrate and N-ethyldiisopropylamine, followed by deprotection with TFA and hydrogenolysis over 10% Pd on charcoal, afforded **aerothricin** 132 [I; R1 = L-Orn-N[(CH2)3NH2], R2 = R3 = H]. The **aerothricins** of formula I exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a

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wide range of dosages. The synthesized **aerothricins** are much less cytotoxic to hepatocytes than the known cyclic peptide derivs. WF11243 and LY303366.

IT 351495-75-5P 351495-76-6P 351495-77-7P

351495-78-8P 351499-37-1P 351499-38-2P

RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **aerothricins**, novel cyclic compds. having antifungal activity)

RN 351495-75-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-[N2,N2-bis(2-aminoethyl)-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351495-76-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-[N2,N2-bis(2-aminoethyl)-D-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351495-77-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-[N2-(3-aminopropyl)-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351495-78-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(2-aminoethyl)-N5-[N2,N2-bis(2-aminoethyl)-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351499-37-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-L-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351499-38-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(L-ornithyl-D-ornithyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 256947-25-8P 256947-26-9P

RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)

(prepn. of **aerothricins**, novel cyclic compds. having antifungal activity)

RN 256947-25-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

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threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3S)-3-hydroxy-4-methylhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-26-9 HCAPLUS

CN Cyclo[D-alanyl-3-hydroxy-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 146466-19-5P

RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of **aerothricins**, novel cyclic compds. having antifungal activity)

RN 146466-19-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 256945-79-6P 256946-93-7P 351388-79-9P

351388-80-2P 351388-81-3P 351430-50-7P

RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of **aerothricins**, novel cyclic compds. having antifungal activity)

RN 256945-79-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 146466-19-5

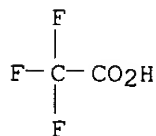
CMF C71 H116 N14 O23

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 256946-93-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

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threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-  
N5-(2-cyanoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl]  
(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351388-79-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-  
N5-[N2,N2-bis(2-aminoethyl)-L-ornithyl]-N5-(2-cyanoethyl)-L-ornithyl-(3R)-  
3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351388-80-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-  
N5-(2-cyanoethyl)-N5-[N5-[(1,1-dimethylethoxy)carbonyl]-N2-[(9H-fluoren-9-  
ylmethoxy)carbonyl]-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-  
allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351388-81-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-  
N5-[N2-(3-aminopropyl)-L-ornithyl]-N5-(2-cyanoethyl)-L-ornithyl-(3R)-3-  
hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351430-50-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-  
N5-(2-cyanoethyl)-N5-L-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-  
allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

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L12 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:545525 HCAPLUS  
DOCUMENT NUMBER: 135:157672  
TITLE: Cyclic peptide compositions for nasal administration  
INVENTOR(S): Horii, Ikuo; Kobayashi, Kazuko; **Shimma, Nobuo**  
; Yanagawa, Akira  
PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.  
SOURCE: PCT Int. Appl., 117 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001052894	A2	20010726	WO 2001-EP163	20010109
WO 2001052894	A3	20020131		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,  
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,  
TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001038824	A1	20011108	US 2001-765846	20010119
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PRIORITY APPLN. INFO.: EP 2000-101057 A 20000120

OTHER SOURCE(S): MARPAT 135:157672

AB The present invention relates to a nasal compn. of physiol. active cyclic peptides and salts that are prepd. by homogeneously dispersing an active cyclic peptide such as antifungal cyclic peptides (**aerothricin**, echinocandin analogs, pneumocandin analogs, and aureobasidin), antibacterial cyclic peptides (e.g., vancomycin, daptomycin), cyclosporin A, lanreotide, vapreotide, vasopressin antagonist and eptifibatide in a unique carrier. The powdery or cryst. carrier contains a water insol. polyvalent metal carrier, or org. carrier having a mean particle size of 20-500 .mu.m, in the presence or absence of an absorption enhancer and by homogeneously adsorbing onto the carrier, and its use for therapeutic treatment of disease such as systemic fungal infections by intranasal administration. The compn. can be nasally administered in a powder form. Thus, 201 mg **Aerothricin** 133 and 599 mg CaCO<sub>3</sub> (mean particle size: 40-60 .mu.m) were mixed well. Then, 200 .mu.L water was added, and mixing was continued until the mixt. became a paste and the resulting pasty solid was freeze-dried at -50.degree., and further dried at 300.degree. for 3 h in vacuo. After large particles in the dry powder were broken into small particles, 8 mg of calcium stearate was added and the mixt. was passed through 180-.mu.m-mesh. **Aerothricin** 133 was synthesized by a series of steps.

IT 146466-19-5 256947-25-8 256947-26-9

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); RACT (Reactant or reagent); USES (Uses)

(prepn. of cyclic peptide compns. for nasal administration)

RN 146466-19-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

<c> KAM 09/760,949

threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-25-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3S)-3-hydroxy-4-methylhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-26-9 HCAPLUS

CN Cyclo[D-alanyl-3-hydroxy-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 256945-80-9P, Aerothricin 4 256945-81-0P, Aerothricin 5 256945-82-1P, Aerothricin 6 256945-83-2P, Aerothricin 7 256945-84-3P, Aerothricin 8 256945-85-4P, Aerothricin 9 256945-86-5P, Aerothricin 10 256945-87-6P, Aerothricin 11 256945-88-7P, Aerothricin 12 256945-89-8P, Aerothricin 13 256945-90-1P, Aerothricin 14 256945-91-2P, Aerothricin 15 256945-92-3P, Aerothricin 16 256945-93-4P, Aerothricin 17 256945-94-5P, Aerothricin 18 256945-95-6P, Aerothricin 19 256945-96-7P, Aerothricin 20 256945-97-8P, Aerothricin 21 256945-98-9P, Aerothricin 22 256945-99-0P, Aerothricin 23 256946-00-6P, Aerothricin 24 256946-01-7P, Aerothricin 25 256946-02-8P, Aerothricin 26 256946-03-9P, Aerothricin 27 256946-04-0P, Aerothricin 28 256946-05-1P, Aerothricin 29 256946-06-2P, Aerothricin 30 256946-07-3P, Aerothricin 31 256946-08-4P, Aerothricin 32 256946-44-8P, Aerothricin 63 256946-70-0P, Aerothricin 96 256946-75-5P, Aerothricin 101 256946-76-6P, Aerothricin 102 256946-77-7P, Aerothricin 103 256946-78-8P, Aerothricin 104 256946-79-9P, Aerothricin 105 256946-80-2P, Aerothricin 106 256946-81-3P, Aerothricin 107 256946-82-4P, Aerothricin 109 256946-83-5P, Aerothricin 110 256946-84-6P, Aerothricin 111 256946-85-7P, Aerothricin 112 256946-86-8P, Aerothricin 113 256946-87-9P, Aerothricin 114 256946-88-0P, Aerothricin 115 256946-89-1P, Aerothricin 116 256946-90-4P, Aerothricin 117 256946-91-5P, Aerothricin 118 256946-92-6P, Aerothricin 119 256946-93-7P 256946-94-8P, Aerothricin 121 256946-95-9P, Aerothricin 122 256946-97-1P, Aerothricin 124 256946-98-2P, Aerothricin 125 256946-99-3P, Aerothricin 126 256947-00-9P, Aerothricin 127 256947-01-0P, Aerothricin 128 256947-02-1P, Aerothricin 129 256947-03-2P, Aerothricin 130 256947-04-3P, Aerothricin 131 256947-27-0P, Aerothricin 108 351495-75-5P 352284-35-6P,

<C> KAM 09/760,949

**Aerothricin 132 352284-36-7P, Aerothricin 133  
352284-38-9P, Aerothricin 135 352284-39-0P,  
Aerothricin 136 352284-40-3P, Aerothricin 137**

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of cyclic peptide compns. for nasal administration)

RN 256945-80-9 HCAPLUS

CN Aerothricin 4 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-81-0 HCAPLUS

CN Aerothricin 5 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-82-1 HCAPLUS

CN Aerothricin 6 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-83-2 HCAPLUS

CN Aerothricin 7 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-84-3 HCAPLUS

CN Aerothricin 8 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-85-4 HCAPLUS

CN Aerothricin 9 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-86-5 HCAPLUS

CN Aerothricin 10 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-87-6 HCAPLUS

CN Aerothricin 11 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-88-7 HCAPLUS

CN Aerothricin 12 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-89-8 HCAPLUS

CN Aerothricin 13 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-90-1 HCAPLUS

CN Aerothricin 14 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-91-2 HCAPLUS

CN Aerothricin 15 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-92-3 HCAPLUS

CN Aerothricin 16 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-93-4 HCAPLUS

CN Aerothricin 17 (9CI) (CA INDEX NAME)



<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-94-5 HCAPLUS  
CN Aerothricin 18 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-95-6 HCAPLUS  
CN Aerothricin 19 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-96-7 HCAPLUS  
CN Aerothricin 20 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-97-8 HCAPLUS  
CN Aerothricin 21 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-98-9 HCAPLUS  
CN Aerothricin 22 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-99-0 HCAPLUS  
CN Aerothricin 23 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-00-6 HCAPLUS  
CN Aerothricin 24 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-01-7 HCAPLUS  
CN Aerothricin 25 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-02-8 HCAPLUS  
CN Aerothricin 26 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-03-9 HCAPLUS  
CN Aerothricin 27 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-04-0 HCAPLUS  
CN Aerothricin 28 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-05-1 HCAPLUS  
CN Aerothricin 29 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-06-2 HCAPLUS  
CN Aerothricin 30 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-07-3 HCAPLUS  
CN Aerothricin 31 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-08-4 HCAPLUS  
CN Aerothricin 32 (9CI) (CA INDEX NAME)

<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-44-8 HCAPLUS  
CN Aerothricin 63 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-70-0 HCAPLUS  
CN Aerothricin 96 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-75-5 HCAPLUS  
CN Aerothricin 101 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-76-6 HCAPLUS  
CN Aerothricin 102 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-77-7 HCAPLUS  
CN Aerothricin 103 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-78-8 HCAPLUS  
CN Aerothricin 104 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-79-9 HCAPLUS  
CN Aerothricin 105 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-80-2 HCAPLUS  
CN Aerothricin 106 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-81-3 HCAPLUS  
CN Aerothricin 107 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-82-4 HCAPLUS  
CN Aerothricin 109 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-83-5 HCAPLUS  
CN Aerothricin 110 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-84-6 HCAPLUS  
CN Aerothricin 111 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-85-7 HCAPLUS  
CN Aerothricin 112 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-86-8 HCAPLUS  
CN Aerothricin 113 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-87-9 HCAPLUS  
CN Aerothricin 114 (9CI) (CA INDEX NAME)

<C> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-88-0 HCAPLUS  
CN Aerothricin 115 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-89-1 HCAPLUS  
CN Aerothricin 116 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-90-4 HCAPLUS  
CN Aerothricin 117 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-91-5 HCAPLUS  
CN Aerothricin 118 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-92-6 HCAPLUS  
CN Aerothricin 119 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-93-7 HCAPLUS  
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(2-cyanoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl]  
(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-94-8 HCAPLUS  
CN Aerothricin 121 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-95-9 HCAPLUS  
CN Aerothricin 122 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-97-1 HCAPLUS  
CN Aerothricin 124 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-98-2 HCAPLUS  
CN Aerothricin 125 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-99-3 HCAPLUS  
CN Aerothricin 126 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256947-00-9 HCAPLUS  
CN Aerothricin 127 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256947-01-0 HCAPLUS  
CN Aerothricin 128 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256947-02-1 HCAPLUS  
CN Aerothricin 129 (9CI) (CA INDEX NAME)

<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-03-2 HCAPLUS  
CN Aerothricin 130 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-04-3 HCAPLUS  
CN Aerothricin 131 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-27-0 HCAPLUS  
CN Aerothricin 108 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351495-75-5 HCAPLUS  
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-[N2,N2-bis(2-aminoethyl)-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 352284-35-6 HCAPLUS  
CN Aerothricin 132 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 352284-36-7 HCAPLUS  
CN Aerothricin 133 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 352284-38-9 HCAPLUS  
CN Aerothricin 135 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 352284-39-0 HCAPLUS  
CN Aerothricin 136 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 352284-40-3 HCAPLUS  
CN Aerothricin 137 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 256945-76-3P 256945-79-6P 256947-05-4P  
256947-19-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of cyclic peptide compns. for nasal administration)  
RN 256945-76-3 HCAPLUS  
CN Aerothricin 1, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

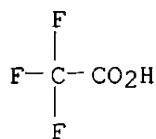
CRN 256947-25-8  
CMF C72 H118 N14 O23

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1  
CMF C2 H F3 O2

<c> KAM 09/760,949



RN 256945-79-6 HCAPLUS  
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl],  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

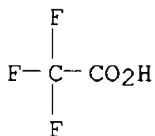
CM 1

CRN 146466-19-5  
CMF C71 H116 N14 O23

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 256947-05-4 HCAPLUS  
CN Cyclo[alanyltyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-hydroxyglutaminyglycylthreonyl-N5-[(1,1-dimethylethoxy)carbonyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-19-0 HCAPLUS  
CN Cyclo[alanyltyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-hydroxyglutaminyglycylthreonyl-N5-(5-nitro-2-pyridinyl)ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **256947-29-2P**  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of cyclic peptide compns. for nasal administration)

RN 256947-29-2 HCAPLUS  
CN Aerothricin 16, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256945-92-3  
CMF C71 H115 N15 O25

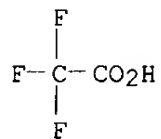
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<C> KAM 09/760,949

CM 2

CRN 76-05-1

CMF C2 H F3 O2



<c> KAM 09/760,949

=> d ibib abs hitstr 3

L12 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:84834 HCAPLUS

DOCUMENT NUMBER: 132:137733

TITLE: Preparation of new antifungal agents, cyclic  
**aerothricin** analogs, for treatment of  
infectious diseases caused by pathogenic  
microorganisms

INVENTOR(S): Aoki, Masahiro; Kohchi, Masami; **Masubuchi,**  
**Kazunao**; Mizuguchi, Eisaku; **Murata,**  
**Takeshi**; Ohkuma, Hiroaki; Okada, Takehiro;  
Sakaitani, Masahiro; **Shimma, Nobuo**;  
Watanabe, Takahide; Yanagisawa, Mieko; Yasuda, Yuri

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005251	A1	20000203	WO 1999-EP5235	19990722
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9951630	A1	20000214	AU 1999-51630	19990722
BR 9912367	A	20010502	BR 1999-12367	19990722
EP 1100816	A1	20010523	EP 1999-936588	19990722
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002525263	T2	20020813	JP 2000-561207	19990722
PRIORITY APPLN. INFO.:			EP 1998-113744	A 19980723
			EP 1999-107637	A 19990416
			WO 1999-EP5235	W 19990722

OTHER SOURCE(S): MARPAT 132:137733

GI



IT 256947-24-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT



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(Reactant or reagent)  
(prepn. of)

RN 256947-24-7 HCAPLUS

CN Cyclo[alanyltyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-hydroxyglutaminyglycylthreonyl-N5-[3-[[[1,1-dimethylethoxy)carbonyl]amino]-L-alanyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 146466-19-5P, **Aerothricin 3** 256945-76-3P,  
**Aerothricin 1** trifluoroacetic acid salt 256945-79-6P,  
**Aerothricin 3** trifluoroacetic acid salt 256947-25-8P,  
**Aerothricin 1** 256947-26-9P, **Aerothricin 2**

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of cyclic peptide **aerothricin** analogs for treatment of infectious diseases)

RN 146466-19-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-76-3 HCAPLUS

CN Aerothricin 1, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256947-25-8

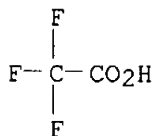
CMF C72 H118 N14 O23

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 256945-79-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 146466-19-5

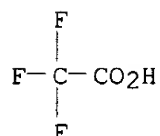
CMF C71 H116 N14 O23

<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 256947-25-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3S)-3-hydroxy-4-methylhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-26-9 HCAPLUS

CN Cyclo[D-alanyl-3-hydroxy-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **256945-77-4P, Aerothricin 2** trifluoroacetic acid salt

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)

(prepn. of cyclic peptide **aerothricin** analogs for treatment of infectious diseases)

RN 256945-77-4 HCAPLUS

CN Aerothricin 2, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

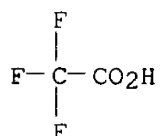
CM 1

CRN 256947-26-9  
CMF C71 H116 N14 O24

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 256945-80-9P, Aerothricin 4 256945-82-1P,  
Aerothricin 6 256945-83-2P, Aerothricin 7  
256945-84-3P, Aerothricin 8 256945-85-4P,  
Aerothricin 9 256945-86-5P, Aerothricin 10  
256945-87-6P, Aerothricin 11 256945-89-8P,  
Aerothricin 13 256945-91-2P, Aerothricin 15  
256945-95-6P, Aerothricin 19 256945-96-7P,  
Aerothricin 20 256945-97-8P, Aerothricin 21  
256945-98-9P, Aerothricin 22 256945-99-0P,  
Aerothricin 23 256946-01-7P, Aerothricin 25  
256946-02-8P, Aerothricin 26 256946-03-9P,  
Aerothricin 27 256946-04-0P, Aerothricin 28  
256946-05-1P, Aerothricin 29 256946-06-2P,  
Aerothricin 30 256946-75-5P, Aerothricin 101  
256946-76-6P, Aerothricin 102 256946-77-7P,  
Aerothricin 103 256946-78-8P, Aerothricin 104  
256946-79-9P, Aerothricin 105 256946-80-2P,  
Aerothricin 106 256946-81-3P, Aerothricin 107  
256946-82-4P, Aerothricin 109 256946-83-5P,  
Aerothricin 110 256946-85-7P, Aerothricin 112  
256946-87-9P, Aerothricin 114 256946-88-0P,  
Aerothricin 115 256946-89-1P, Aerothricin 116  
256946-90-4P, Aerothricin 117 256946-91-5P,  
Aerothricin 118 256946-99-3P, Aerothricin 126  
256947-02-1P, Aerothricin 129 256947-03-2P,  
Aerothricin 130 256947-04-3P, Aerothricin 131  
256947-27-0P, Aerothricin 108

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic peptide **aerothricin** analogs for treatment of infectious diseases)

RN 256945-80-9 HCAPLUS

CN Aerothricin 4 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-82-1 HCAPLUS

CN Aerothricin 6 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-83-2 HCAPLUS

CN Aerothricin 7 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-84-3 HCAPLUS

CN Aerothricin 8 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-85-4 HCAPLUS

CN Aerothricin 9 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-86-5 HCAPLUS

CN Aerothricin 10 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-87-6 HCAPLUS

CN Aerothricin 11 (9CI) (CA INDEX NAME)

<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-89-8 HCAPLUS  
CN Aerothricin 13 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-91-2 HCAPLUS  
CN Aerothricin 15 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-95-6 HCAPLUS  
CN Aerothricin 19 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-96-7 HCAPLUS  
CN Aerothricin 20 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-97-8 HCAPLUS  
CN Aerothricin 21 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-98-9 HCAPLUS  
CN Aerothricin 22 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-99-0 HCAPLUS  
CN Aerothricin 23 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-01-7 HCAPLUS  
CN Aerothricin 25 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-02-8 HCAPLUS  
CN Aerothricin 26 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-03-9 HCAPLUS  
CN Aerothricin 27 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-04-0 HCAPLUS  
CN Aerothricin 28 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-05-1 HCAPLUS  
CN Aerothricin 29 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-06-2 HCAPLUS  
CN Aerothricin 30 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-75-5 HCAPLUS  
CN Aerothricin 101 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-76-6 HCAPLUS  
CN Aerothricin 102 (9CI) (CA INDEX NAME)

<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-77-7 HCAPLUS  
CN Aerothricin 103 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-78-8 HCAPLUS  
CN Aerothricin 104 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-79-9 HCAPLUS  
CN Aerothricin 105 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-80-2 HCAPLUS  
CN Aerothricin 106 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-81-3 HCAPLUS  
CN Aerothricin 107 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-82-4 HCAPLUS  
CN Aerothricin 109 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-83-5 HCAPLUS  
CN Aerothricin 110 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-85-7 HCAPLUS  
CN Aerothricin 112 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-87-9 HCAPLUS  
CN Aerothricin 114 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-88-0 HCAPLUS  
CN Aerothricin 115 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-89-1 HCAPLUS  
CN Aerothricin 116 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-90-4 HCAPLUS  
CN Aerothricin 117 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-91-5 HCAPLUS  
CN Aerothricin 118 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-99-3 HCAPLUS  
CN Aerothricin 126 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256947-02-1 HCAPLUS  
CN Aerothricin 129 (9CI) (CA INDEX NAME)

<c> KAM 09/760,949

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-03-2 HCAPLUS  
CN Aerothricin 130 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-04-3 HCAPLUS  
CN Aerothricin 131 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-27-0 HCAPLUS  
CN Aerothricin 108 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 256945-81-0P, Aerothricin 5 256946-93-7P,  
Aerothricin 120  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of cyclic peptide **aerothricin** analogs for treatment of infectious diseases)  
RN 256945-81-0 HCAPLUS  
CN Aerothricin 5 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256946-93-7 HCAPLUS  
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(2-cyanoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 256945-88-7P, Aerothricin 12 256945-90-1P,  
Aerothricin 14 256945-92-3P, Aerothricin 16  
256945-93-4P, Aerothricin 17 256945-94-5P,  
Aerothricin 18 256946-00-6P, Aerothricin 24  
256946-07-3P, Aerothricin 31 256946-08-4P,  
Aerothricin 32 256946-44-8P, Aerothricin 63  
256946-70-0P, Aerothricin 96 256946-84-6P,  
Aerothricin 111 256946-86-8P, Aerothricin 113  
256946-92-6P, Aerothricin 119 256946-94-8P,  
Aerothricin 121 256946-95-9P, Aerothricin 122  
256946-97-1P, Aerothricin 124 256946-98-2P,  
Aerothricin 125 256947-00-9P, Aerothricin 127  
256947-01-0P, Aerothricin 128 256947-29-2P,  
Aerothricin 16 trifluoroacetic acid salt  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of cyclic peptide **aerothricin** analogs for treatment of infectious diseases)  
RN 256945-88-7 HCAPLUS  
CN Aerothricin 12 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256945-90-1 HCAPLUS  
CN Aerothricin 14 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<c> KAM 09/760,949

RN 256945-92-3 HCAPLUS  
CN Aerothricin 16 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-93-4 HCAPLUS  
CN Aerothricin 17 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256945-94-5 HCAPLUS  
CN Aerothricin 18 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-00-6 HCAPLUS  
CN Aerothricin 24 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-07-3 HCAPLUS  
CN Aerothricin 31 (9CI) (CA INDEX NAME)  
  
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RN 256946-08-4 HCAPLUS  
CN Aerothricin 32 (9CI) (CA INDEX NAME)  
  
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RN 256946-44-8 HCAPLUS  
CN Aerothricin 63 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-70-0 HCAPLUS  
CN Aerothricin 96 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
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CN Aerothricin 111 (9CI) (CA INDEX NAME)  
  
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RN 256946-86-8 HCAPLUS  
CN Aerothricin 113 (9CI) (CA INDEX NAME)  
  
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RN 256946-92-6 HCAPLUS  
CN Aerothricin 119 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-94-8 HCAPLUS  
CN Aerothricin 121 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-95-9 HCAPLUS  
CN Aerothricin 122 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-97-1 HCAPLUS  
CN Aerothricin 124 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 256946-98-2 HCAPLUS  
CN Aerothricin 125 (9CI) (CA INDEX NAME)  
  
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<c> KAM 09/760,949

RN 256947-00-9 HCAPLUS  
CN Aerothricin 127 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-01-0 HCAPLUS  
CN Aerothricin 128 (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-29-2 HCAPLUS  
CN Aerothricin 16, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

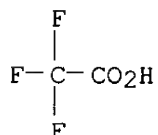
CM 1

CRN 256945-92-3  
CMF C71 H115 N15 O25

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 256947-05-4P, N-Boc-Aerothricin 3 256947-15-6P  
256947-16-7P 256947-17-8P 256947-18-9P  
256947-19-0P 256947-20-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of cyclic peptide **aerothricin** analogs for treatment  
of infectious diseases)

RN 256947-05-4 HCAPLUS

CN Cyclo[alanyltyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-  
hydroxyglutaminyglycylthreonyl-N5-[(1,1-dimethylethoxy)carbonyl]ornithyl-  
(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-15-6 HCAPLUS

CN Cyclo[alanyl-3-nitrotyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-  
hydroxyprolyl-3-hydroxyglutaminyglycylthreonyl-N5-[(1,1-  
dimethylethoxy)carbonyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-16-7 HCAPLUS

CN Cyclo[alanyl-3-aminotyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-  
hydroxyprolyl-3-hydroxyglutaminyglycylthreonyl-N5-[(1,1-  
dimethylethoxy)carbonyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-17-8 HCAPLUS

CN Cyclo[alanyl-3-iodotyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-



<c> KAM 09/760,949

hydroxypropyl-3-hydroxyglutaminyglycylthreonyl-N5-[(1,1-dimethylethoxy)carbonyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-18-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-N5-(2-cyanoethyl)-N5-D-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-19-0 HCAPLUS

CN Cyclo[alanyltyrosylvalyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutaminyglycylthreonyl-N5-(5-nitro-2-pyridinyl)ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 256947-20-3 HCAPLUS

CN Cyclo[alanyltyrosylvalyl-4-hydroxypropylthreonylthreonyl-3-hydroxypropyl-3-hydroxyglutaminyglycylthreonyl-N5-[N5-[(1,1-dimethylethoxy)carbonyl]-D-ornithyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<c> KAM 09/760,949

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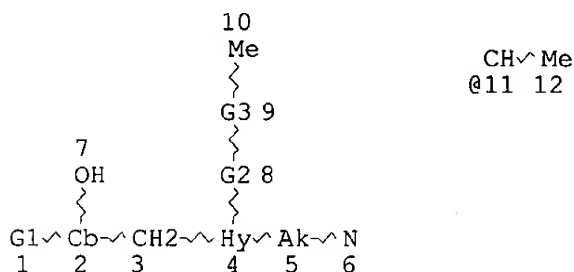
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<c> KAM 09/760,949

OR 9004-53-9/BI OR 9004-57-3/BI OR 9004-64-2/BI OR 9004-65-3/BI  
OR 9004-67-5/BI OR 9005-25-8/BI OR 9005-35-0/BI OR 9005-38-3/B  
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L12 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L6  
L15 270 SEA FILE=REGISTRY ABB=ON PLU=ON 20293.2.1/RID  
L20 STR



see L27 answer  
set for an explan-  
ation of the size

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VAR G2=CH2/11  
REP G3=(11-11) CH2  
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DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY UNS AT 2  
GGCAT IS PCY AT 4  
GGCAT IS LIN SAT AT 5  
DEFAULT ECLEVEL IS LIMITED  
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GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L29 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L25 AND PY<2002  
L30 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 AND L29

3 patents

=> d ibib abs hitstr 1

L30 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:587076 HCAPLUS

DOCUMENT NUMBER: 133:193492

TITLE: Preparation of cyclopeptides or cyclic depsipeptides as antifungal agents

INVENTOR(S): Barett, David; Tanaka, Akira; Okitsu, Osamu; Harada, Keiko; Ohki, Hidenori; Yamanaka, Hideaki; Kawabata, Koji

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 300 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

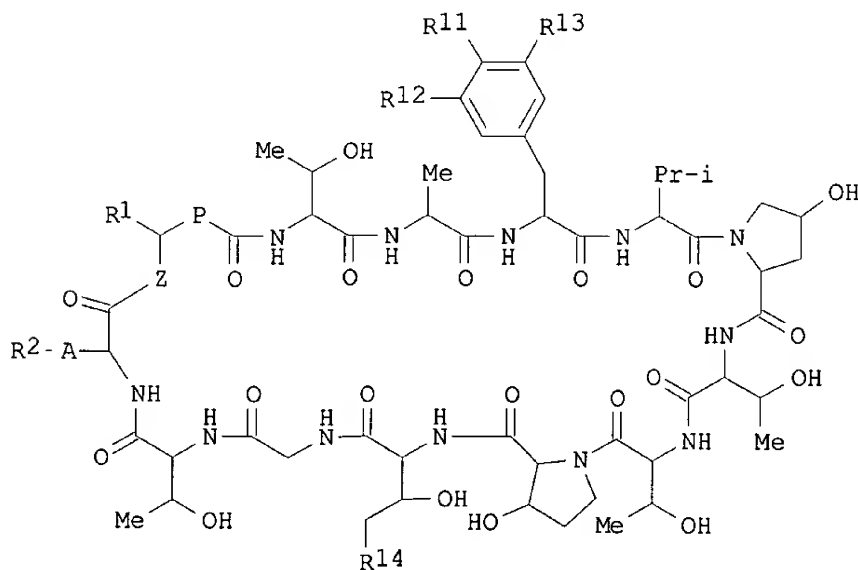
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000229998	A2	20000822	JP 1999-301639	19991022 <--
PRIORITY APPLN. INFO.:			JP 1998-368524	A 19981208 <--
OTHER SOURCE(S):		MARPAT 133:193492		

GI



I

AB The title compds. [I; R1 = H, alkyl, lower alkoxyalkyl, CO<sub>2</sub>H, (un)substituted CONH<sub>2</sub>, aryl, lower (ar)alkyl, or heterocyclic carbonyl; R2 = (un)protected CO<sub>2</sub>H, (un)substituted heterocyclic carbonyl, (un)substituted NH<sub>2</sub>, N<sup>+</sup>(R<sub>5</sub>)<sub>3</sub>.X<sup>-</sup>; wherein R<sub>5</sub> = (un)substituted lower alkyl or alkenyl; X = acid residue; R11 = HO, (un)substituted lower alkoxy; R12 = H, halo; R13 = H, NO<sub>2</sub>, NH<sub>2</sub>, acylamino; or R11 and R13 are bonded together to form O-CONH or -O-C-CONH; R14 = cyano, (un)substituted CONH<sub>2</sub>, (un)protected lower aminoalkyl; Z = O, NH, alkyl-N; P = (CH<sub>2</sub>)<sub>n</sub>; n = 0,1],

which inhibit the biosynthesis of .alpha.-1,3-glucan and are useful for the treatment or prevention of bacterial infection, e.g. pneumonia caused by *Pneumocystis carinii*, are prepd. Thus, I.HCl (R1 = tridecyl, R2-A = H2N(CH2)3, R11 = OH, R12 = R13 = H, R14 = H2NCO, P = CH2, Z = O) was condensed with Et formimidate hydrochloride in the presence of diisopropylethylamine in DMF at room temp. for 4 days to give I.HCl [R2-A = NH:CHNH(CH2)3; R1, R2, R11, R12, R13, R14, P, Z = same as above] which showed min. inhibitory concn. of 0.20 .mu.g/mL against *Candida albicans* (FP633).

IT 289614-33-1P 289614-34-2P 289614-35-3P  
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289616-57-5P 289616-58-6P 289616-59-7P  
289616-60-0P 289616-61-1P 289616-62-2P  
289616-63-3P 289633-78-9P 289633-79-0P  
289633-80-3P 289633-81-4P 289633-82-5P  
289633-83-6P 289633-86-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of cyclopeptides or cyclic depsipeptides as antifungal agents)

RN 289614-33-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(iminomethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-34-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(1-iminoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-35-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-(1,1-dimethylethoxy)-2-oxoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-36-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

<c> KAM 09/760,949

threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-(1,2-dimethyl-1H-pyrazolium-4-yl)-1-iminoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], chloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-38-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-[(2-amino-2-oxoethyl)dimethylammonio]-1-iminoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], chloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-39-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-amino-1-imino-3-oxopropyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-40-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(1-imino-3-methoxypropyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-41-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-(acetyl amino)-1-iminoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-43-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-(diphenylmethoxy)-2-oxoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-44-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-di-2-propenyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-45-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-5-(tri-2-propenylammonio)-L-norvalyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], chloride, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<c> KAM 09/760,949

RN 289614-46-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-bis(2-hydroxyethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-47-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-5-[tris(1H-pyrazol-4-ylmethyl)ammonio]-L-norvalyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], chloride, trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-49-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[[2-(acetylamino)-4-thiazolyl]methyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-50-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1-methyl-1H-pyrazol-4-yl)methyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-51-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-pyridinylsulfonyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-52-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(propylamino)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-53-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-carboxy-1-oxopropyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-54-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

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\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-55-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(N,N-dimethylglycyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-56-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(dimethylamino)sulfonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-58-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(hydroxyacetyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-73-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[4-(octyloxy)benzoyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-75-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N,1-bis[(1,1-dimethylethoxy)carbonyl]-L-histidyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-85-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-azetidinyiminomethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-87-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-glycyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-88-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(carboxymethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-89-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-



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threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-.beta.-alanyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-90-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(4-amino-1-oxobutyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-91-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(5-amino-1-oxopentyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-92-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(6-amino-1-oxohexyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-93-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-alanyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-94-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-azetidiny carbonyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-95-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(2S)-2-azetidinecarbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-96-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-seryl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-97-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-

<c> KAM 09/760,949

allothreonyl-N5-L-.beta.-aspartyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-98-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-.gamma.-glutamyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-99-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1R,2S)-2-aminocyclopentyl]carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-00-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[1,4-dioxo-4-(1-piperazinyl)butyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-01-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[glycyl-3-(aminomethyl)benzoyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-02-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(4R)-4-hydroxy-L-prolyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-03-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(4-piperidinylcarbonyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-04-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(3R)-3-piperidinylcarbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-05-0 HCAPLUS

<c> KAM 09/760,949

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-bis(carboxymethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-07-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-tyrosyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 289615-06-1

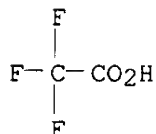
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\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 289615-08-3 HCAPLUS :

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-.alpha.-aspartyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289615-09-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], 11,11'-(1,4-dioxo-1,4-butanediyl)bis- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-08-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-(.alpha.S)-.alpha.-amino-.delta.-oxo-1-piperazinepentanoyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-37-1 HCAPLUS

CN Cyclo[D-alanyl-3-[(3-amino-1-oxopropyl)amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-38-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-4-cyano-L-threonylglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-40-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-N-(carboxyhydroxymethyl)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-51-9 HCAPLUS

CN Cyclo[D-alanyl-3-[(3-amino-1-oxopropyl)amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-52-0 HCAPLUS

CN Cyclo[D-alanyl-3-[[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-53-1 HCAPLUS

CN Cyclo[D-alanyl-3-[[[1,4-dioxo-4-(1-piperazinyl)butyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-54-2 HCAPLUS

CN Cyclo[D-alanyl-3-[[[(2S,3S)-3-hydroxy-2-pyrrolidinyl]carbonyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-55-3 HCAPLUS

CN Cyclo[D-alanyl-3-[[[(3S)-3-amino-3-carboxy-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-56-4 HCAPLUS

CN Cyclo[D-alanyl-3-[[[(2S)-2-amino-3-hydroxy-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-

hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-57-5 HCAPLUS

CN Cyclo[D-alanyl-3-[(2-methoxyethoxy)acetyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-58-6 HCAPLUS

CN Cyclo[D-alanyl-3-[(3-carboxy-1-oxopropyl)amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-59-7 HCAPLUS

CN Cyclo[D-alanyl-3-[(4S)-4-amino-4-carboxy-1-oxobutyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-60-0 HCAPLUS

CN Cyclo[D-alanyl-3-[(methylsulfonyl)amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-61-1 HCAPLUS

CN Cyclo[D-alanyl-3-chloro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-62-2 HCAPLUS

CN Cyclo[D-alanyl-3,5-dichloro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-63-3 HCAPLUS

CN Cyclo[D-alanyl-3-iodo-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-78-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-arginyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl],

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monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-79-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-5-(trimethylammonio)-L-norvalyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], chloride, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-80-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-dimethyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-81-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(N-methylglycyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-82-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-histidyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-83-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-86-9 HCAPLUS

CN Cyclo[D-alanyl-3-amino-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289633-77-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of cyclopeptides or cyclic depsipeptides as antifungal agents)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289614-37-5P 289614-42-2P 289614-48-8P  
289614-57-9P 289614-59-1P 289614-60-4P  
289614-61-5P 289614-62-6P 289614-63-7P  
289614-64-8P 289614-65-9P 289614-66-0P  
289614-67-1P 289614-68-2P 289614-69-3P

289614-70-6P 289614-71-7P 289614-72-8P  
289614-74-0P 289614-76-2P 289614-77-3P  
289614-78-4P 289614-79-5P 289614-80-8P  
289614-81-9P 289614-82-0P 289614-83-1P  
289614-84-2P 289616-05-3P 289616-06-4P  
289616-07-5P 289616-33-7P 289616-34-8P  
289616-35-9P 289616-39-3P 289616-41-7P  
289616-43-9P 289616-44-0P 289616-45-1P  
289616-46-2P 289616-47-3P 289616-48-4P  
289616-49-5P 289616-50-8P 289633-87-0P  
289633-88-1P 289633-89-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. of cyclopeptides or cyclic depsipeptides as antifungal agents)

RN 289614-37-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[imino[1-[(phenylmethoxy)carbonyl]-3-azetidiny]methyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-42-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(3S)-1-imino-3,5-bis[[2-propenyloxy)carbonyl]amino]penty-1]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-48-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-bis[2-(1,1-dimethylethoxy)-2-oxoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-57-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-59-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(N,N-dimethylglycyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-60-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-.beta.-alanyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-61-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

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threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[4-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-62-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[5-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-63-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[6-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-64-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-N-methylglycyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-65-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-66-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(2S)-1-[(1,1-dimethylethoxy)carbonyl]-2-azetidinecarbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-67-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[1-[(1,1-dimethylethoxy)carbonyl]-3-azetidiny]carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-68-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(3R)-1-[(1,1-dimethylethoxy)carbonyl]-3-piperidinyl]carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-69-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-



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allothreonyl-N5-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-70-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-71-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[ (4R)-1-[(1,1-dimethylethoxy)carbonyl]-4-hydroxy-L-prolyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-72-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N2,N5-bis[(1,1-dimethylethoxy)carbonyl]-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-74-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-76-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-.gamma.-glutamyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-77-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-.beta.-aspartyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], phenylmethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-78-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[[ (1R,2S)-2-[[ (1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-79-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

<c> KAM 09/760,949

threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-1,4-dioxobutyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-80-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]benzoyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-81-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[3-(aminomethyl)benzoyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-82-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]glycyl-3-(aminomethyl)benzoyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-83-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-L-.beta.-aspartyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-84-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(phenylmethoxy)carbonyl]-L-.alpha.-aspartyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], phenylmethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-05-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-.alpha.-glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-06-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-.alpha.-glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-07-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

<C> KAM 09/760,949

threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-(.alpha.S)-.alpha.-amino-4-[(1,1-dimethylethoxy)carbonyl]-.delta.-oxo-1-piperazinepentanoyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-33-7 HCAPLUS

CN Cyclo[D-alanyl-3-nitro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-34-8 HCAPLUS

CN Cyclo[D-alanyl-3-amino-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-35-9 HCAPLUS

CN Cyclo[D-alanyl-3-[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-39-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-N-(carboxyhydroxymethyl)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-41-7 HCAPLUS

CN Cyclo[D-alanyl-3-[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-43-9 HCAPLUS

CN Cyclo[D-alanyl-3-[[[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-[1-[(1,1-dimethylethoxy)carbonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-44-0 HCAPLUS

CN Cyclo[D-alanyl-3-[[4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-1,4-dioxobutyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<C> KAM 09/760,949

RN 289616-45-1 HCAPLUS  
CN Cyclo[D-alanyl-3-[[[(2S,3S)-1-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-2-pyrrolidinyl]carbonyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-46-2 HCAPLUS  
CN Cyclo[D-alanyl-3-[[[(3S)-4-(1,1-dimethylethoxy)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,4-dioxobutyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-47-3 HCAPLUS  
CN Cyclo[D-alanyl-3-[[[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-48-4 HCAPLUS  
CN Cyclo[D-alanyl-3-[[[(2-methoxyethoxy)acetyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-49-5 HCAPLUS  
CN Cyclo[D-alanyl-3-[(methylsulfonyl)amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-50-8 HCAPLUS  
CN Cyclo[D-alanyl-3-[[[(4S)-5-(1,1-dimethylethoxy)-4-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,5-dioxopentyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-87-0 HCAPLUS  
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-88-1 HCAPLUS  
CN Cyclo[D-alanyl-3-nitro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-

<C> KAM 09/760,949

ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-89-2 HCAPLUS

CN Cyclo[D-alanyl-3-amino-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<C> KAM 09/760,949

=> d ibib abs hitstr 2

L30 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:733937 HCAPLUS

DOCUMENT NUMBER: 126:8709

TITLE: Preparation of cyclic peptide nuclei and derivatives thereof as antimicrobials and inhibitors of beta-1,3-glucan synthase

INVENTOR(S): Hashimoto, Michizane; Shigematsu, Nobuharu; Hashimoto, Seiji

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

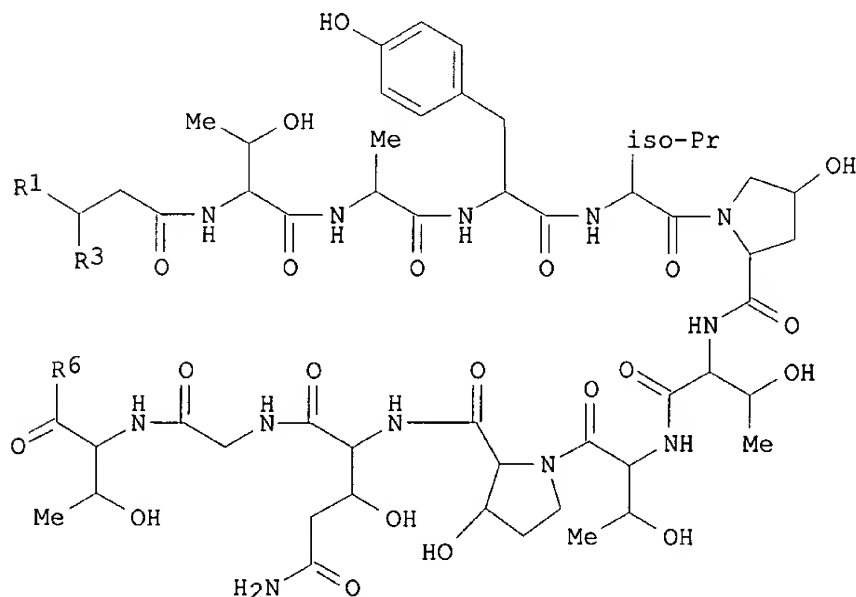
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630399	A1	19961003	WO 1996-JP774	19960326 <--
W: CA, CN, JP, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 817796	A1	19980114	EP 1996-906942	19960326 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11505208	T2	19990518	JP 1996-529161	19960326 <--
US 5952299	A	19990914	US 1997-913365	19970929 <--
PRIORITY APPLN. INFO.:			GB 1995-6372	19950329 <--
			WO 1996-JP774	19960326 <--
OTHER SOURCE(S):		MARPAT 126:8709		
GI				



AB New peptide compds. of formula [I; R1 = alkyl or aralkyl; R3 = (un)protected HO or NH2, O2CCHR2NHR5, NHCCHR2NHR5; wherein R2 =

(un)protected lower aminoalkyl; R5 = H or an amino protective group; R6 = OH or R4COCHR2NH; with proviso that when R3 is (un)substituted OH or NH2, R6 = HO2CCHR2NH; or R3 and R6 together form O2CCHR2NH or NHCOCR2NH] and pharmaceutically acceptable salts thereof, which are esp. useful as fungicides (no data), are prepd. Thus, I [R1 = Me(CH2)12, R3 = (S)-O2CCH[(CH2)3NHBoc]NH2, R6 = OH] (prepn. given) was treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt in DMF at room temp. of 2 h to give cyclopeptide I [R1 = Me(CH2)12, R3R6 = (S)-O2CCH[(CH2)3NHBoc]NH].

IT 174778-71-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of cyclic peptides as antimicrobials and inhibitors of beta-1,3-glucan synthase)

RN 174778-71-3 HCAPLUS

CN Cyclo[L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-L-ornithyl-3-hydroxyhexadecanoyl-L-threonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 183809-10-1P 183809-11-2P 183905-71-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of cyclic peptides as antimicrobials and inhibitors of beta-1,3-glucan synthase)

RN 183809-10-1 HCAPLUS

CN L-Ornithine, N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]-, (12.fwdarw.1)-lactone (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 183809-11-2 HCAPLUS

CN L-Lysine, N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N6-[(1,1-dimethylethoxy)carbonyl]-, (12.fwdarw.1)-lactone (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 183905-71-7 HCAPLUS

CN Cyclo[L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-3-hydroxyhexadecanoyl-L-threonyl] (9CI) (CA INDEX NAME)

cy>CH40C

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 183809-12-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of cyclic peptides as antimicrobials and inhibitors of beta-1,3-glucan synthase)

RN 183809-12-3 HCAPLUS

CN L-Lysine, N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-, (12.fwdarw.1)-lactone (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

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L35 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS

RN 174778-71-3 REGISTRY

CN Cyclo[L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-L-ornithyl-3-hydroxyhexadecanoyl-L-threonyl] (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Ornithine, N2-[N-[N-[3-hydroxy-N2-[3-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-[N-[N-[N-(3-hydroxy-1-oxohexadecyl)-L-threonyl]-L-alanyl]-L-tyrosyl]-L-valyl]-L-prolyl]-L-threonyl]-L-threonyl]-L-prolyl]-L-glutaminyglycyl]-L-threonyl]-, .xi.1-lactone

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 12

NTE modified (modifications unspecified)

type	location	description
bridge	Thr-1 - Orn-12	covalent bridge
uncommon	Hyp-5 -	-
uncommon	Orn-12 -	-

SEQ 1 TAYVXTTPQG TX

MF C71 H116 N14 O23

SR CA

LC STN Files: CA, CAPLUS, DRUGUPDATES, USPATFULL  
 2 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)



=&gt; d sqide 136

L36 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS  
 RN 183809-10-1 REGISTRY  
 CN L-Ornithine, N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]-, (12.fwdarw.1)-lactone (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 13  
 NTE cyclic  
 modified (modifications unspecified)

type	location			description
uncommon	Hyp-4	-	-	
uncommon	Orn-11	-	-	
uncommon	Und-12	-	-	

SEQ 1 AYVXTTPQGT XXT  
 MF C76 H124 N14 O25  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 2 REFERENCES IN FILE CA (1967 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> d sqide 137

L37 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS

RN 183809-11-2 REGISTRY

CN L-Lysine, N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N6-[(1,1-dimethylethoxy)carbonyl]-, (12.fwdarw.1)-lactone (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 13

NTE cyclic

modified (modifications unspecified)

type	location			description
uncommon	Hyp-4	-	-	
uncommon	Und-12	-	-	

SEQ 1 AYVXTTPQGT KXT

MF C77 H126 N14 O25

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=&gt; d sqide 138

L38 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS

RN 183905-71-7 REGISTRY

CN Cyclo[L-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-hydroxy-L-glutaminyglycyl-L-threonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-3-hydroxyhexadecanoyl-L-threonyl] (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Ornithine, N5-[(1,1-dimethylethoxy)carbonyl]-N2-[N-[N-[3-hydroxy-N2-[3-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-[N-[N-[N-(3-hydroxy-1-oxohexadecyl)-L-threonyl]-L-alanyl]-L-tyrosyl]-L-valyl]-L-prolyl]-L-threonyl]-L-threonyl]-L-prolyl]-L-glutaminyglycyl]-L-threonyl]-, .xi.1-lactone

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 13

NTE cyclic  
modified (modifications unspecified)

type	----- location -----	description
uncommon	Hyp-4 - -	
uncommon	Orn-11 - -	
uncommon	Und-12 - -	

SEQ 1 AYVXTTPQGT XXT

MF C76 H124 N14 O25

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

=> d sqide 139

L39 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS  
RN 183809-12-3 REGISTRY  
CN L-Lysine, N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-threonyl-L-alanyl-L-tyrosyl-  
L-valyl-(4R)-4-hydroxy-L-prolyl-L-threonyl-L-threonyl-3-hydroxy-L-prolyl-3-  
hydroxy-L-glutaminyglycyl-L-threonyl-, (12.fwdarw.1)-lactone (9CI) (CA  
INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 13  
NTE cyclic  
modified (modifications unspecified)

type	location			description
uncommon	Hyp-4	-	-	
uncommon	Und-12	-	-	

SEQ 1 AYVXTTPQGT KXT  
MF C72 H118 N14 O23  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

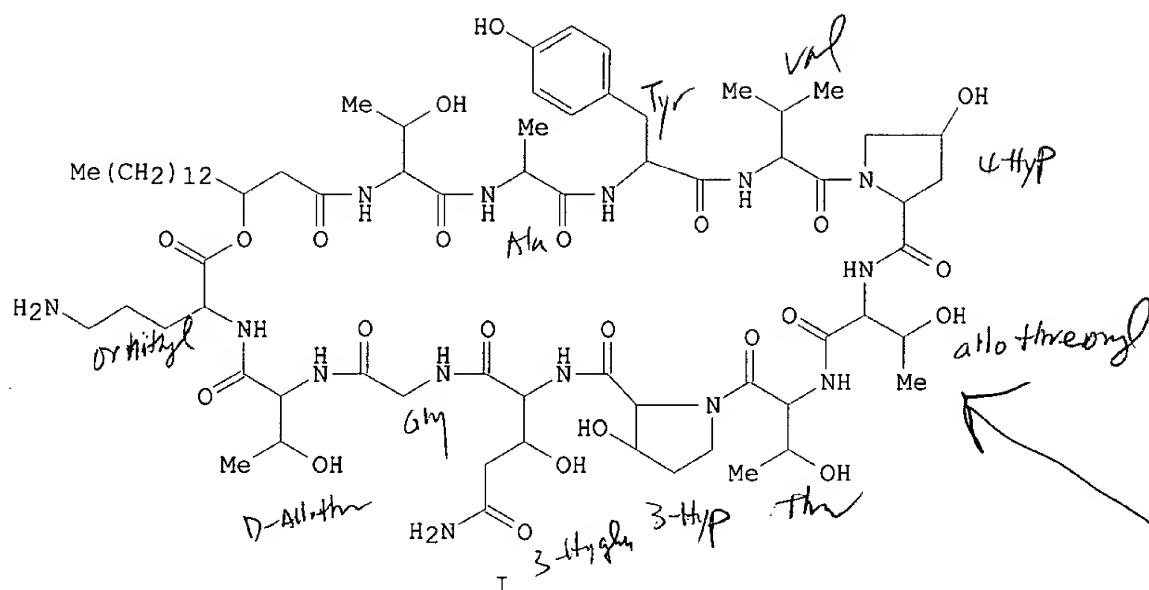
<c> KAM 09/760,949

=> d ibib abs hitstr 3

L30 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1993:146236 HCAPLUS  
DOCUMENT NUMBER: 118:146236  
TITLE: Antifungal and antiprotozoal WF11243 substance and its  
manufacture by fermentation  
INVENTOR(S): Fujie, Akihiko; Takase, Shigehiro; Yamashita, Michio;  
Nakanishi, Tomoko; Hashimoto, Seiji; Okuhara, Masakuni  
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 34 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9219648	A1	19921112	WO 1992-JP586	19920508 <--
W: AU, CA, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
JP 04335891	A2	19921124	JP 1991-132234	19910509 <--
JP 05112599	A2	19930507	JP 1991-299552	19911021 <--
IL 101717	A1	19951208	IL 1992-101717	19920428 <--
ZA 9203124	A	19930127	ZA 1992-3124	19920429 <--
CA 2102705	AA	19921110	CA 1992-2102705	19920508 <--
AU 9217404	A1	19921221	AU 1992-17404	19920508 <--
AU 652639	B2	19940901		
EP 584360	A1	19940302	EP 1992-909843	19920508 <--
EP 584360	B1	19970305		
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US 5446022	A	19950829	US 1993-140074	19931104 <--
US 5547934	A	19960820	US 1995-429636	19950427 <--
PRIORITY APPLN. INFO.:			JP 1991-132234	19910509 <--
			JP 1991-299552	19911021 <--
			JP 1992-509281	19920508 <--
			WO 1992-JP586	19920508 <--
			US 1993-140074	19931104 <--

GI



AB Peptide antibiotic WF11243 (I) exhibiting antifungal and antiprotozoal activities is manufd. by cultivating microorganism No. 11243. I has a mol. formula C71H116N14O23.HCl, a mol. wt. of 1555, and defined chem. and phys. properties. I is also active against *Pneumocystis carinii*.

IT **146466-19-5P**, WF 11243

RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)  
(manuf. of, with microorganism 11243, as antifungal and antiprotozoal agent)

RN. 146466-19-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-3-hydroxyglutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

structure in abstract is 146466-19-5

L27 contains journal articles having compounds  
with the ~~same~~ claimed ~~str~~ <sup>str</sup>

<c> KAM 09/760,949

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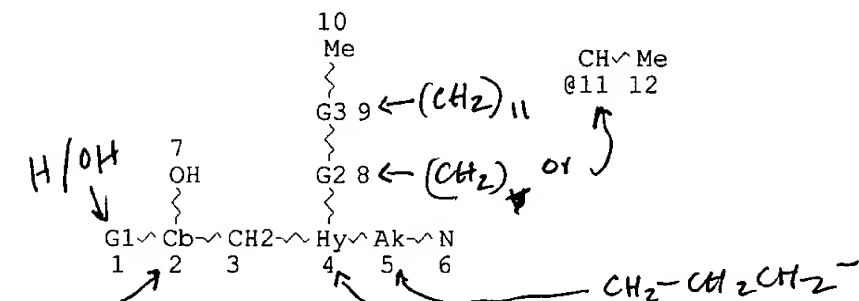
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compounds  
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<C> KAM 09/760,949

OR 9004-53-9/BI OR 9004-57-3/BI OR 9004-64-2/BI OR 9004-65-3/BI  
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L11 91 SEA FILE=REGISTRY ABB=ON PLU=ON (L7 OR L8) AND L10  
L12 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L6  
L15 270 SEA FILE=REGISTRY ABB=ON PLU=ON 20293.2.1/RID  
L20 STR



VAR G1=H/OH  
VAR G2=CH2/11  
REP G3=(11-11) CH2  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS MCY UNS AT 2  
GGCAT IS PCY AT 4  
GGCAT IS LIN SAT AT 5  
DEFAULT ECLEVEL IS LIMITED  
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ECOUNT IS E33 C E12 N E1 O AT 4  
ECOUNT IS E3 C AT 5

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L23 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L22  
L24 17 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 NOT L12  
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L27 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L26 AND PD<20010116

11 journal  
articles



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ACCESSION NUMBER: 2001:799324 HCAPLUS

DOCUMENT NUMBER: 136:184092

TITLE: Novel amidine conjugates of the ornithine moiety of the macrocyclic antifungal lipopeptidolactone FR901469

AUTHOR(S): Barrett, David; Tanaka, Akira; Watabe, Etsuko; Maki, Katsuyuki; Ikeda, Fumiaki

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa  
Pharmaceutical Co. Ltd., Osaka, 532-8514, Japan

SOURCE: Journal of Antibiotics (2001), 54(10), 844-847

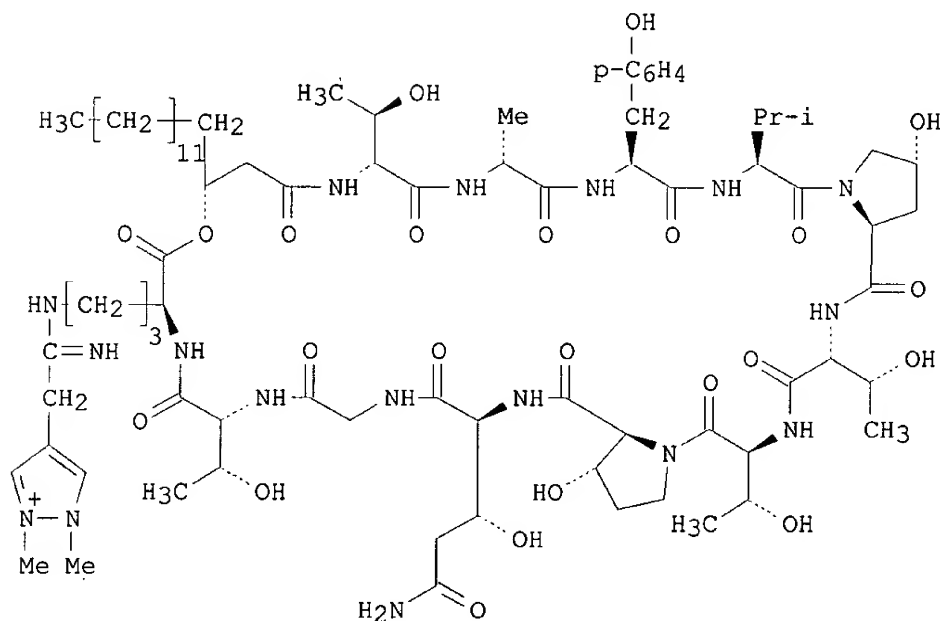
CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER: Japan Antibiotics Research Association

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

 $\text{Cl}^-$ 

I

AB A series of amidine analogs of macrocyclic lactone FR901469 have been prepd., and derivs. with good in vivo antifungal efficacy and reduced hemolytic potential were identified. Compd. (I) showed in vivo efficacy that compared favorably with amphotericin B and fluconazole in the treatment of candidiasis, with MIC values of 0.39 - 0.78 .mu.g/mL, and hemolytic activity of 17%.

IT 289614-33-1P 289614-34-2P 289614-36-4P  
289614-40-0P 289614-41-1P 289614-85-3P  
289633-78-9P 398134-00-4P

<c> KAM 09/760,949

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of amidine conjugates of the ornithine moiety of the macrocyclic antifungal FR901469 with reduced hemolytic activity)

RN 289614-33-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(iminomethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-34-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(1-iminoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-36-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-(1,2-dimethyl-1H-pyrazolium-4-yl)-1-iminoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], chloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-40-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(1-imino-3-methoxypropyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-41-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[2-(acetilamino)-1-iminoethyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-85-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-azetidinyiminomethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-78-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-arginyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 398134-00-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-

<c> KAM 09/760,949

allothreonyl-N5-(3-amino-1-imino-3-oxopropyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289633-77-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of amidine conjugates of the ornithine moiety of the  
macrocyclic antifungal FR901469 with reduced hemolytic activity)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289614-37-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of amidine conjugates of the ornithine moiety of the  
macrocyclic antifungal FR901469 with reduced hemolytic activity)

RN 289614-37-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[imino[1-[(phenylmethoxy)carbonyl]-3-azetidiny]methyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<c> KAM 09/760,949

=> d ibib abs hitstr 2

L27 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:557164 HCAPLUS

DOCUMENT NUMBER: 135:269950

TITLE: FR901469, a novel antifungal antibiotic from an unidentified fungus No. 11243. III. Structure determination

AUTHOR(S): Fujie, Akihiko; Muramatsu, Hideyuki; Yoshimura, Seiji; Hashimoto, Michizane; Shigematsu, Nobuharu; Takase, Shigehiro

CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Tsukuba, 300-2698, Japan

SOURCE: Journal of Antibiotics (2001), 54(7), 588-594

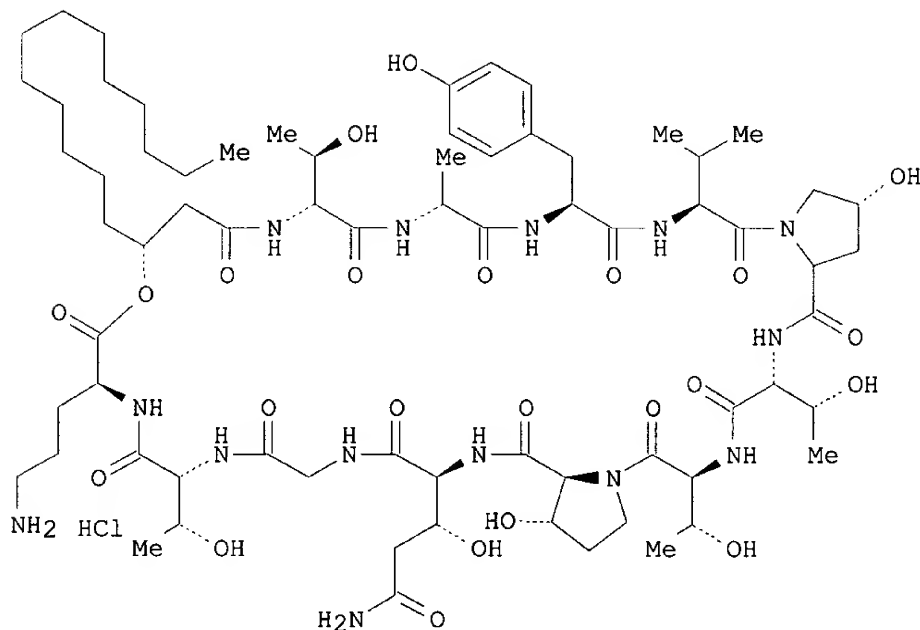
CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER: Japan Antibiotics Research Association

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A novel antifungal antibiotic, FR901469 (I), was isolated from an unidentified fungus No. 11243. It is a water-sol. 40-membered macrocyclic lipopeptidolactone, consisting of D-Ala, L-Tyr, L-Val, trans-4OH-L-Pro, trans-3OH-L-Gln, Gly, L-Orn, L-Thr, three residues of D-alloThr and a (3R)-hydroxypalmitic acid. Its structure, including abs. configurations, was unequivocally detd. as I based on chem. and spectroscopic evidence.

IT 289633-77-8, FR901469

RL: PRP (Properties)

(structure detn. of novel antifungal antibiotic FR901469 from

<C> KAM 09/760,949

unidentified fungus No. 11243)  
RN 289633-77-8 HCAPLUS  
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

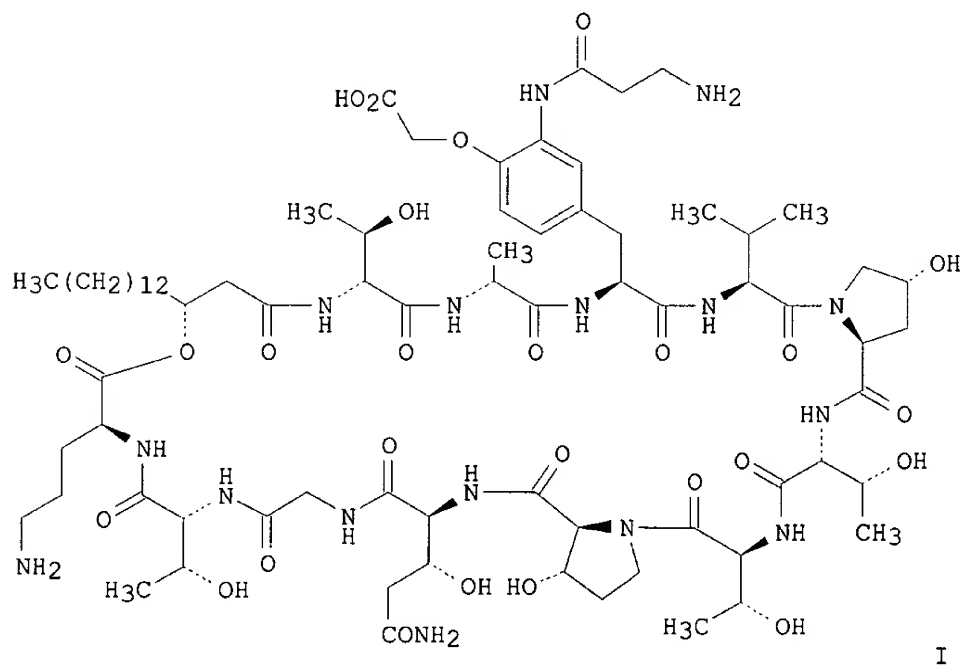
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<c> KAM 09/760,949

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L27 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:518609 HCAPLUS  
DOCUMENT NUMBER: 135:273202  
TITLE: Synthesis and biological activity of novel macrocyclic  
antifungals: modification of the tyrosine moiety of  
the lipopeptidolactone FR901469  
AUTHOR(S): Barrett, D.; Tanaka, A.; Harada, K.; Watabe, E.; Maki,  
K.; Ikeda, F.  
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa  
Pharmaceutical Co. Ltd., Yodogawa-ku, Osaka, 532-8514,  
Japan  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001  
) , 11(14), 1843-1849  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB A series of tyrosine-modified derivs. of the macrocyclic  
lipopeptidolactone FR901469 have been prepd. and evaluated for in vitro  
and in vivo antifungal activity and for hemolytic activity towards red  
blood cells. Compd. I.2HCl displayed significantly reduced hemolytic  
potential at 1 mg/mL and a comparable protective effect to FR901469 in a  
mouse candidiasis model.

IT 289614-54-6 289633-77-8, FR901469

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

<c> KAM 09/760,949

study, unclassified); RCT (Reactant); BIOL (Biological study); RACT  
(Reactant or reagent)  
(prepn., antifungal and hemolytic activity of tyrosine-modified derivs.  
of lipopeptidolactone FR901469)

RN 289614-54-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-  
allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-  
allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-  
allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl],  
monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289633-89-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(prepn., antifungal and hemolytic activity of tyrosine-modified derivs.  
of lipopeptidolactone FR901469)

RN 289633-89-2 HCAPLUS

CN Cyclo[D-alanyl-L-amino-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-  
allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-  
glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-  
ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289616-37-1P 289616-51-9P 289616-52-0P

289616-53-1P 289616-56-4P 289616-58-6P

289616-61-1P 289633-77-8DP, FR901469, tyrosine-modified  
analogs 363564-70-9P 363564-71-0P 363618-75-1P  
363618-76-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(prepn., antifungal and hemolytic activity of tyrosine-modified derivs.  
of lipopeptidolactone FR901469)

RN 289616-37-1 HCAPLUS

CN Cyclo[D-alanyl-3-[(3-amino-1-oxopropyl)amino]-L-tyrosyl-L-valyl-(4R)-4-  
hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-  
hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-  
hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX  
NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-51-9 HCAPLUS

CN Cyclo[D-alanyl-3-[(3-amino-1-oxopropyl)amino]-L-tyrosyl-L-valyl-(4R)-4-  
hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-  
hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-  
hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX  
NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-52-0 HCAPLUS

CN Cyclo[D-alanyl-3-[[ (2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-L-  
tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-

<c> KAM 09/760,949

hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], trihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-53-1 HCAPLUS

CN Cyclo[D-alanyl-3-[[1,4-dioxo-4-(1-piperazinyl)butyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-56-4 HCAPLUS

CN Cyclo[D-alanyl-3-[(2S)-2-amino-3-hydroxy-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-58-6 HCAPLUS

CN Cyclo[D-alanyl-3-[(3-carboxy-1-oxopropyl)amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-61-1 HCAPLUS

CN Cyclo[D-alanyl-3-chloro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 363564-70-9 HCAPLUS

CN Cyclo[D-alanyl-3-[(3R)-3-amino-3-carboxy-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 363564-71-0 HCAPLUS

CN Cyclo[D-alanyl-3-[(4R)-4-amino-4-carboxy-1-oxobutyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 363618-75-1 HCAPLUS



<C> KAM 09/760,949

CN Cyclo[D-alanyl-3-nitro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 363618-76-2 HCAPLUS

CN Cyclo[D-alanyl-3-amino-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], hydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289614-57-9P 289616-33-7P 289616-34-8P

289616-35-9P 289616-41-7P 289616-43-9P

289616-44-0P 289616-47-3P 289633-87-0P

289633-88-1P 363564-72-1P 363564-73-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., antifungal and hemolytic activity of tyrosine-modified derivs. of lipopeptidolactone FR901469)

RN 289614-57-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-33-7 HCAPLUS

CN Cyclo[D-alanyl-3-nitro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-34-8 HCAPLUS

CN Cyclo[D-alanyl-3-amino-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-35-9 HCAPLUS

CN Cyclo[D-alanyl-3-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-41-7 HCAPLUS

CN Cyclo[D-alanyl-3-[[[3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-43-9 HCAPLUS

CN Cyclo[D-alanyl-3-[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-[1-[(1,1-

<c> KAM 09/760,949

dimethylethoxy) carbonyl]-1H-imidazol-4-yl]-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-44-0 HCAPLUS

CN Cyclo[D-alanyl-3-[[4-[4-[(1,1-dimethylethoxy) carbonyl]-1-piperazinyl]-1,4-dioxobutyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-47-3 HCAPLUS

CN Cyclo[D-alanyl-3-[(2S)-2-[(1,1-dimethylethoxy) carbonyl]amino]-3-hydroxy-1-oxopropyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-87-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-88-1 HCAPLUS

CN Cyclo[D-alanyl-3-nitro-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 363564-72-1 HCAPLUS

CN Cyclo[D-alanyl-3-[(3R)-4-(1,1-dimethylethoxy)-3-[(1,1-dimethylethoxy) carbonyl]amino]-1,4-dioxobutyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 363564-73-2 HCAPLUS

CN Cyclo[D-alanyl-3-[(4R)-5-(1,1-dimethylethoxy)-4-[(1,1-dimethylethoxy) carbonyl]amino]-1,5-dioxopentyl]amino]-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy) carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d ibib abs hitstr 4

L27 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:375461 HCAPLUS

DOCUMENT NUMBER: 135:61152

TITLE: Drug development with antifungal natural products as lead compounds

AUTHOR(S): Ohki, Hidenori

CORPORATE SOURCE: Chem. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532-8514, Japan

SOURCE: Yuki Gosei Kagaku Kyokaishi (2001), 59(5), 444-445

CODEN: YGKKAE; ISSN: 0037-9980

PUBLISHER: Yuki Gosei Kagaku Kyokai

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

AB A review with 5 refs. on development of fungicides for treatment of deep mycosis using FR 901469 and FR 901379 as lead compds.

IT 289633-77-8, FR 901469

RL: RCT (Reactant); RACT (Reactant or reagent)

(drug development using antifungal natural products as lead compds.)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

<c> KAM 09/760,949

=> d ibib abs hitstr 5

L27 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:330823 HCAPLUS

DOCUMENT NUMBER: 135:137693

TITLE: Synthesis and Antifungal Activities of Novel  
1,3-.beta.-D-Glucan Synthase Inhibitors. Part 2

AUTHOR(S): Masubuchi, Kazunao; Okada, Takehiro; Kohchi, Masami;  
Murata, Takeshi; Tsukazaki, Masao; Kondoh, Osamu;  
Yamazaki, Toshikazu; Satoh, Yasuko; Ono, Yoshinori;  
Tsukaguchi, Toshiyuki; Kobayashi, Kazuko; Ono, Naomi;  
Inoue, Tomoaki; Horii, Ikuo; Shimma, Nobuo

CORPORATE SOURCE: Department of Chemistry, Nippon Roche Research Center,  
Kamakura, Kanagawa, 247-8530, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001  
, 11(10), 1273-1276

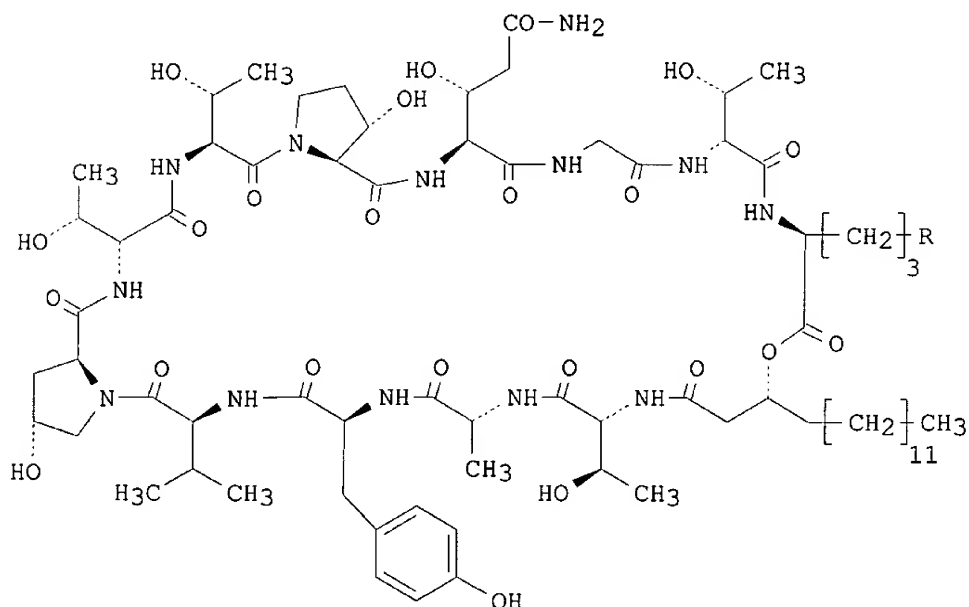
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Highly potent 1,3-.beta.-D-glucan synthase inhibitors [I; R = NHC(O)CH[(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>]N[(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>]<sub>2</sub> (R stereo); N[(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>]C(O)CH[(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>]N[(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>]<sub>2</sub> (independently R or S stereo); N[(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>]C(O)CH[(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>]N[(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>]<sub>2</sub> (S stereo)] have been identified by the chem. modification of the ornithine residue of a fungicidal macrocyclic lipopeptidolactone, RO-09-3655 [I; R = NH<sub>2</sub> (II)], isolated from the cultured broth of *Deuteromycotinia* spp. These compds. showed stronger antifungal activity against systemic candidiasis as well as pulmonary aspergillosis in mice,

<C> KAM 09/760,949

and less hepatotoxicity as compared with II.

IT 351435-81-9P 351435-82-0P 351435-84-2P  
351435-85-3P 351435-86-4P 351435-87-5P  
351435-89-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antifungal activities of macrocyclic peptide derivs. as novel 1,3-.beta.-D-glucan synthase inhibitors with reduced hepatotoxicity)

RN 351435-81-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N2,N2-bis(2-aminoethyl)-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-82-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N2,N2-bis(2-aminoethyl)-D-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-84-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-L-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-85-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-D-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-86-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-[N2,N2-bis(2-aminoethyl)-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-87-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-aminopropyl)-N5-[N2,N2-bis(2-aminoethyl)-D-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-89-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(2-aminoethyl)-N5-[N2,N2-bis(2-aminoethyl)-D-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289633-77-8

<C> KAM 09/760,949

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. and antifungal activities of macrocyclic peptide derivs. as  
novel 1,3-.beta.-D-glucan synthase inhibitors with reduced  
hepatotoxicity)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-  
allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl],  
monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 351435-83-1P 351435-88-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and antifungal activities of macrocyclic peptide derivs. as  
novel 1,3-.beta.-D-glucan synthase inhibitors with reduced  
hepatotoxicity)

RN 351435-83-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-  
allothreonyl-N5-(2-aminoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-  
allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 351435-88-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-  
threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-  
allothreonyl-N5-[2-[[ (1,1-dimethylethoxy) carbonyl] amino]ethyl]-L-ornithyl-  
(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 6

L27 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:177397 HCAPLUS

DOCUMENT NUMBER: 134:353499

TITLE: Synthesis and biological activity of novel macrocyclic antifungals acylated conjugates of the ornithine moiety of the lipopeptidolactone FR901469

AUTHOR(S): Barrett, D.; Tanaka, A.; Harada, K.; Ohki, H.; Watabe, E.; Maki, K.; Ikeda, F.

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd., Yodogawa-ku, Osaka, 532-8514, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(4), 479-482

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:353499

AB A series of acylated analogs of the novel macrocyclic lipopeptidolactone FR901469 has been prepd. and evaluated for antifungal and hemolytic activity. Several analogs displayed markedly reduced hemolytic potential and comparable protective effects to the natural product in a mouse model of candidiasis. Ornithine-modified analogs of the macrocyclic natural product FR901469 were designed and evaluated as antifungal agents.

IT 289633-77-8, FR901469

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(prepn., antifungal and hemolytic activity of acylated analogs of lipopeptidolactone FR901469)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289614-54-6P 289614-55-7P 289614-58-0P

289614-87-5P 289614-89-7P 289614-90-0P

289614-91-1P 289614-92-2P 289614-94-4P

289614-95-5P 289633-81-4P 289633-83-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn., antifungal and hemolytic activity of acylated analogs of lipopeptidolactone FR901469)

RN 289614-54-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-55-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(N,N-dimethylglycyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-

<c> KAM 09/760,949

D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-58-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(hydroxyacetyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-87-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-glycyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-89-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-.beta.-alanyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-90-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(4-amino-1-oxobutyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-91-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(5-amino-1-oxopentyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-92-2 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(6-amino-1-oxohexyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-94-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(3-azetidiny carbonyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-95-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(2S)-2-azetidinecarbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)



\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-81-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-(N-methylglycyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289633-83-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289614-60-4P 289614-61-5P 289614-62-6P

289614-63-7P 289614-64-8P 289614-66-0P

289614-67-1P 289614-72-8P 339183-10-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., antifungal and hemolytic activity of acylated analogs of lipopeptidolactone FR901469)

RN 289614-60-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-.beta.-alanyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-61-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[4-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-oxobutyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-62-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[5-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-63-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[6-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-64-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]-N-methylglycyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-66-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-

<c> KAM 09/760,949

threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(2S)-1-[(1,1-dimethylethoxy)carbonyl]-2-azetidinecarbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-67-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[[1-[(1,1-dimethylethoxy)carbonyl]-3-azetidiny]carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289614-72-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N2,N5-bis[(1,1-dimethylethoxy)carbonyl]-L-ornithyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 339183-10-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

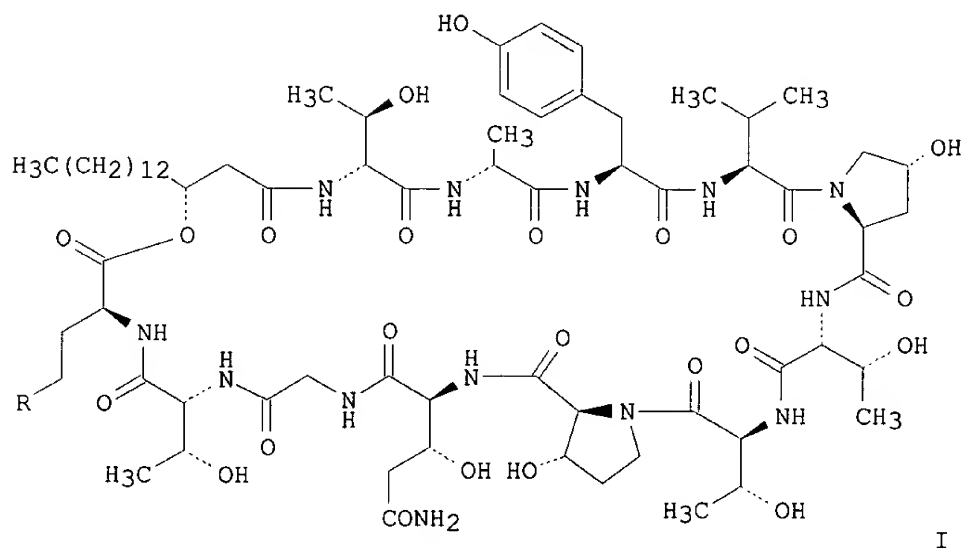
REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L27 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2001:158453 HCAPLUS  
DOCUMENT NUMBER: 134:311419  
TITLE: Site-specific structural transformation of the novel  
antifungal cyclic depsipeptide FR901469: synthesis and  
biological activity of FR203903  
AUTHOR(S): Tanaka, Akira; Barrett, David; Fujie, Akihiko;  
Shigematsu, Nobuharu; Hashimoto, Michizane; Hashimoto,  
Seiji; Ikeda, Fumiaki  
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa  
Pharmaceutical Co., Ltd., Osaka, 532-8514, Japan  
SOURCE: Journal of Antibiotics (2001), 54(2),  
193-197  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 134:311419  
GI



AB 'The semi-synthesis of FR-203903, I (R = 1-piperazinylcarbonyl, HCl salt), an ornithine-modified analog of FR-901469, I (R = CH2NH2, HCl salt), is described. The antifungal activities of both FR-203903 and FR-901469 against various clin. isolates of fungi are reported.

IT 289633-77-8, FR901469

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(prepn. and antifungal activity of cyclic depsipeptide FR-203903, an ornithine-modified analog of FR-901469)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-

<C> KAM 09/760,949

allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl],  
monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289616-08-6P, FR 203903

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antifungal activity of cyclic depsipeptide FR-203903, an ornithine-modified analog of FR-901469)

RN 289616-08-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-(.alpha.S)-.alpha.-amino-.delta.-oxo-1-piperazinepentanoyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289616-05-3P 289616-06-4P 289616-07-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and antifungal activity of cyclic depsipeptide FR-203903, an ornithine-modified analog of FR-901469)

RN 289616-05-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-.alpha.-glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-06-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-.alpha.-glutamyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 289616-07-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-(.alpha.S)-.alpha.-amino-4-[(1,1-dimethylethoxy)carbonyl]-.delta.-oxo-1-piperazinepentanoyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



FR-901469) derivs. as novel 1,3-.beta.-D-glucan synthase inhibitors)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 332920-20-4P 332920-22-6P 332920-23-7P  
333384-77-3P 333384-81-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antifungal activities of RO-093655 (also known as FR-901469) derivs. as novel 1,3-.beta.-D-glucan synthase inhibitors)

RN 332920-20-4 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-5-hydroxy-L-norvalyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 332920-22-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-L-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 332920-23-7 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-D-ornithyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 333384-77-3 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-bis(2-aminoethyl)-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 333384-81-9 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-ornithylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289633-87-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and antifungal activities of RO-093655 (also known as FR-901469) derivs. as novel 1,3-.beta.-D-glucan synthase inhibitors)

RN 289633-87-0 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-[(1,1-dimethylethoxy)carbonyl]-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 289614-54-6P 332920-21-5P 333384-75-1P  
333384-79-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and antifungal activities of RO-093655 (also known as  
FR-901469) derivs. as novel 1,3-.beta.-D-glucan synthase inhibitors)

RN 289614-54-6 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-acetyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 332920-21-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5-glycyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 333384-75-1 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-N5,N5-dimethyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 333384-79-5 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-4-cyano-L-threonylglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 9

L27 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:85157 HCAPLUS

DOCUMENT NUMBER: 134:266551

TITLE: An expedient synthesis of the amide analog of the potent antifungal lipopeptidolactone FR901469

AUTHOR(S): Barrett, D.; Tanaka, A.; Fujie, A.; Shigematsu, N.; Hashimoto, M.; Hashimoto, S.

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co. Ltd., Yodogawa-ku, Osaka, 532-8514, Japan

SOURCE: Tetrahedron Letters (2001), 42(4), 703-705

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:266551

AB An expedient synthesis of the lactam analog of the 40-membered lipopeptidolactone antifungal antibiotic FR901469 is described. The key steps in this synthesis are a novel biotransformation of the natural product to produce the highly versatile linear peptide building block and efficient formation of the 40-membered ring by macrolactamization under high-diln. conditions. Novel methol. to prep. the amide analog from the natural product is described.

IT 289633-77-8, FR 901469

RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis of amide analog of potent antifungal lipopeptidolactone FR901469)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



=&gt; d ibib abs hitstr 10

L27 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:702494 HCAPLUS

DOCUMENT NUMBER: 134:25132

TITLE: FR901469, a novel antifungal antibiotic from an unidentified fungus No.11243. II. In vitro and in vivo activities

AUTHOR(S): Fujie, Akihiko; Iwamoto, Toshiro; Muramatsu, Hideyuki; Okudaira, Terumi; Sato, Ikuko; Furuta, Takahisa; Tsurumi, Yasuhisa; Hori, Yasuhiro; Hino, Motohiro; Hashimoto, Seiji; Okuhara, Masakuni

CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Tsukuba, 300-2698, Japan

SOURCE: Journal of Antibiotics (2000), 53(9), 920-927

CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER: Japan Antibiotics Research Association

DOCUMENT TYPE: Journal

LANGUAGE: English

AB FR901469 is a water-sol. macrocyclic lipopeptidolactone (C71H116N14O23) that has inhibitory activity against 1,3-.beta.-glucan synthase and exhibits in vitro and in vivo antifungal activity against both Candida albicans and Aspergillus fumigatus. The MICs of FR901469 against Candida albicans FP633 and Aspergillus fumigatus FP1305 in a micro-broth diln. test were 0.63 and 0.16 .mu.g/mL, resp. FR901469 showed excellent efficacy by s.c. injection against both Candida albicans and Aspergillus fumigatus in a murine systemic infection mode, with ED50s of 0.32 and 0.2 mg/kg, resp. This compd. also showed potent anti-Pneumocystis activity in the nude mice model with exptl. Pneumocystis pneumonia. The hemolytic activity of FR901469 towards mouse red blood cells is about 30-fold weaker than that of amphotericin B.

IT 289633-77-8 *see next page for S&IDE display of this cpd*

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FR901469: novel antifungal antibiotic from unidentified fungus)

RN 289633-77-8 HCAPLUS

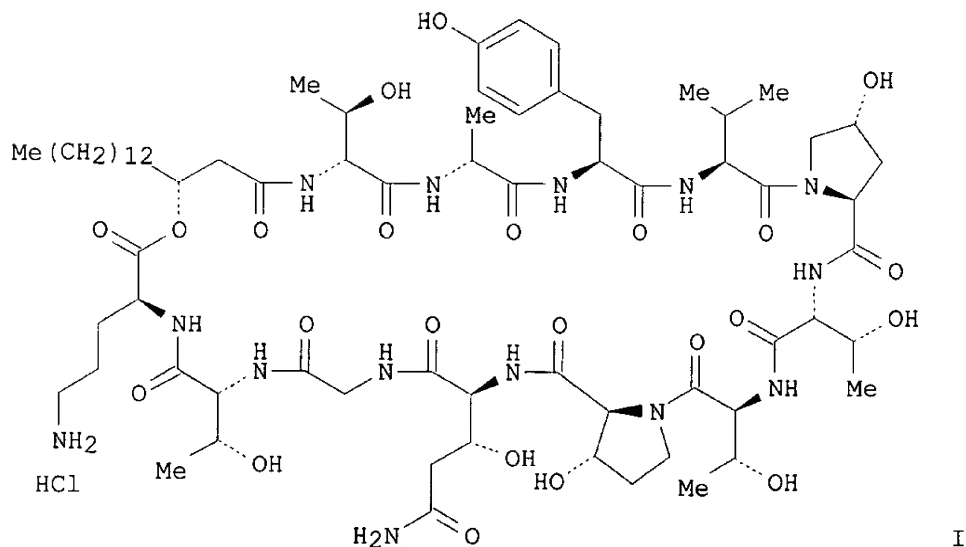
CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=&gt; d ibib abs hitstr 11

L27 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2000:702493 HCAPLUS  
 DOCUMENT NUMBER: 133:360662  
 TITLE: FR901469, a novel antifungal antibiotic from an unidentified fungus No.11243. I. Taxonomy, fermentation, isolation, physico-chemical properties and biological properties  
 AUTHOR(S): Fujie, Akihiko; Iwamoto, Toshiro; Muramatsu, Hideyuki; Okudaira, Terumi; Nitta, Kumiko; Nakanishi, Tomoko; Sakamoto, Kazutoshi; Hori, Yasuhiro; Hino, Motohiro; Hashimoto, Seiji; Okuhara, Masakuni  
 CORPORATE SOURCE: Exploratory Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Tsukuba, 300-2698, Japan  
 SOURCE: Journal of Antibiotics (2000), 53(9), 912-919  
 CODEN: JANTAJ; ISSN: 0021-8820  
 PUBLISHER: Japan Antibiotics Research Association  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB FR901469 (I) is a novel antifungal antibiotic produced by an unidentified fungus, No.11243. This compd. was isolated from the culture broth by solvent extn., HP-20 and YMC ODS gel column chromatog., and lyophilization. FR901469 is a white powder which melts at 182.apprx.187.degree.C and possesses the mol. formula C71H116N14O23. This compd. has good water soly. FR901469 inhibited the activity of 1,3-.beta.-glucan synthase from *Candida albicans* with an IC50 value of 0.05 .mu.g/mL, and displayed greater inhibitory activity than other 1,3-.beta.-glucan synthase inhibitors, such as WF11899A, echinocandin B, aculeacin A, and papulacandin B.  
 IT 289633-77-8P, FR 901469

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)

(FR901469 as novel antifungal antibiotic from unidentified fungus)

RN 289633-77-8 HCAPLUS

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L34 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS

RN 289633-77-8 REGISTRY

CN Cyclo[D-alanyl-L-tyrosyl-L-valyl-(4R)-4-hydroxy-L-prolyl-D-allothreonyl-L-threonyl-(3S)-3-hydroxy-L-prolyl-(3R)-3-hydroxy-L-glutaminyglycyl-D-allothreonyl-L-ornithyl-(3R)-3-hydroxyhexadecanoyl-D-allothreonyl], monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN FR 901469

CN RO 09-3655

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 13

NTE cyclic

modified (modifications unspecified)

type	----- location -----		description
uncommon	Hyp-4	-	-
uncommon	Orn-11	-	-
uncommon	Und-12	-	-
stereo	Ala-1	-	D
stereo	Thr-5	-	D
stereo	Thr-10	-	D
stereo	Thr-13	-	D

SEQ 1 AYVXTTPQGT XXT

MF C71 H116 N14 O23 . C1 H

SR CA

LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, TOXCENTER

12 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

10 REFERENCES IN FILE CAPLUS (1967 TO DATE)

see next page  
(p28) for the ~~same~~  
~~displ~~ actual ~~src~~ of  
289633-77-8 (in the  
abstract)